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                  substances identified in English-, French-, German-,
                  and Japanese-language basic patents from 2004-present
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 NEWS  4  NOV 26  CHEMSAFE now available on STN Easy
 NEWS  5  NOV 26  Two new SET commands increase convenience of STN
                  searching
 NEWS  6  DEC 01  ChemPort single article sales feature unavailable
 NEWS  7  DEC 12  GBFULL now offers single source for full-text
                  coverage of complete UK patent families
 NEWS  8  DEC 17  Fifty-one pharmaceutical ingredients added to PS
 NEWS  9  JAN 06  The retention policy for unread STNmail messages
                  will change in 2009 for STN-Columbus and STN-Tokyo
 NEWS 10  JAN 07  WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
                  Classification Data

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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 NEWS IPC8     For general information regarding STN implementation of IPC 8

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=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.22       0.22

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STRUCTURE FILE UPDATES:   25 JAN 2009  HIGHEST RN 1095751-06-6
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DICTIONARY FILE UPDATES: 25 JAN 2009 HIGHEST RN 1095751-06-6

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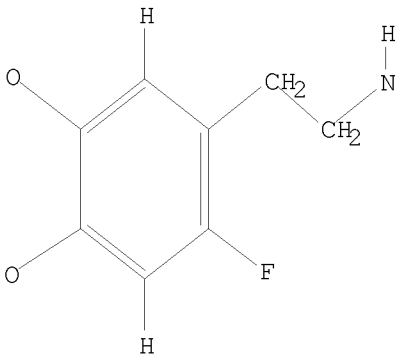
=>
Uploading C:\Program Files\Stnexp\Queries\10559879-cl-13.str

L1   STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1   STR



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SAMPLE SEARCH INITIATED 15:26:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   39 TO ITERATE

100.0% PROCESSED   39 ITERATIONS   0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 406 TO   1154
PROJECTED ANSWERS: 0 TO   0

L2   0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 15:26:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   669 TO ITERATE

100.0% PROCESSED   669 ITERATIONS   30 ANSWERS
SEARCH TIME: 00.00.01

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L3           30 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     185.88     186.10

FILE 'CAPLUS' ENTERED AT 15:26:35 ON 26 JAN 2009
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FILE COVERS 1907 - 26 Jan 2009  VOL 150 ISS 5
FILE LAST UPDATED: 25 Jan 2009  (20090125/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

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substance identification.

=> s l3
L4           76 L3

=> s l4 and iodonium
          4317 IODONIUM
L5           1 L4 AND IODONIUM

=> d l5 ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y

L5   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2005:588844  CAPLUS
DOCUMENT NUMBER:         143:115340
TITLE:                   Process for fluorination and radiofluorination of
                         iodonium salts in the presence of a radical
                         trap
INVENTOR(S):             Wadsworth, Harry John; Widdowson, David Arthur;
                         Wilson, Emmanuelle; Carroll, Michael Andrew
PATENT ASSIGNEE(S):      GE Healthcare Limited, UK
SOURCE:                  PCT Int. Appl., 32 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:
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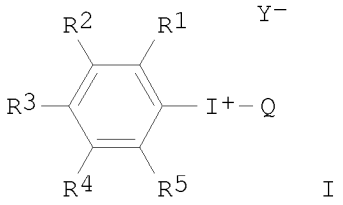
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005061415	A1	20050707	WO 2004-GB5304		20041217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1697279	A1	20060906	EP 2004-806112		20041217
EP 1697279	B1	20080924			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS					
CN 1898184	A	20070117	CN 2004-80038469		20041217
CN 100415696	C	20080903			
JP 2007515465	T	20070614	JP 2006-546303		20041217
AT 409173	T	20081015	AT 2004-806112		20041217
US 20060292060	A1	20061228	US 2006-559879		20060830
PRIORITY APPLN. INFO.:			GB 2003-29716	A	20031223
			WO 2004-GB5304	W	20041217

OTHER SOURCE(S): CASREACT 143:115340; MARPAT 143:115340

GI



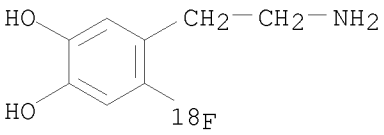
I

AB Decomposition of iodonium salts I [Q = precursor of fluorine-labeled compound; Y = anion selected from triflate, nonaflate, mesylate, hexaflate; R1-R2, R4-R5 = independently H, NO2, CN, halo,(un)protected C1-10 hydroxyalkyl, C2-10 carboxyalkyl, C1-10 alkyl, C2-10 alkoxyalkyl, C1-10 aminoalkyl, C1-10 haloalkyl, C6-14 aryl, c3-12 heteroaryl, C3-20 alkylaryl, C5-12 arylene, C2-10 alkenyl, C2-10 alkynyl, C1-10 acyl, C7-10 aroyl, C2-10 carboalkoxy, C2-10 carbamoyl, C2-10 carbamyl, C1-10 alkylsulfinyl; or R1-R5 may form 4-6-membered ring; R3 = any group R1-R2, R4-R5 or link to a solid support] by a free radical process has been identified as a significant factor in the observed yield variability of fluoridation reactions using said iodonium salts. Accordingly, the inclusion of a free radical trap, such as 2,2,6,6-tetramethylpiperidine-N-oxide, 1,2-diphenylethylene, ascorbate, p-aminobenzoic acid, α-tocopherol, hydroquinone, di-t-butylphenol, β-carotene, or gentisic acid in the reaction mixture blocks the radical chain decomposition pathway for iodonium salts such that only the reaction leading to fluoridation can occur and the yield of aryl fluoride becomes high and reproducible. In both the solution and the solid phase the preferred method of the present invention is radiofluoridation. Thus, radiofluorination of diphenyliodonium triflate with 18F-fluoride in the presence of Kryptofix 222 in dry acetonitrile and 70 mol % 2,2,6,6-tetramethylpiperidine-N-oxide gave radiolabeled fluorobenzene in

41-57% yield and 82-96% radiochem. purity. The same reaction without the radical trap gave labeled fluorobenzene in 0-40% yields and 0-65% radiochem. purity.

IT 107610-25-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for fluorination and radiofluorination of iodonium salts in presence of radical traps)

RN 107610-25-3 CAPLUS
CN 1,2-Benzenediol, 4-(2-aminoethyl)-5-(fluoro-18F)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> s l4 and substitution
        287906 SUBSTITUTION
L6          10 L4 AND SUBSTITUTION

=> s l6 not l5
L7          10 L6 NOT L5

=> s l6 and aromatic
        252681 AROMATIC
L8           0 L6 AND AROMATIC

=> s l6 and fluorination
         18373 FLUORINATION
L9           2 L6 AND FLUORINATION

=> s l9 not l5
L10          2 L9 NOT L5

=> d l10 ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/(N):y

L10  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        1994:76955  CAPLUS
DOCUMENT NUMBER:         120:76955
ORIGINAL REFERENCE NO.:  120:13837a,13840a
TITLE:                   Rapid, regiospecific syntheses of deuterium
                         substituted 6-[18F]-fluorodopamine
                         (α,α-D2; β,β-D2 and
                         α,α,β,β-D4) for mechanistic
                         studies with positron emission tomography
AUTHOR(S):               Ding, Yu Shin; Fowler, Joanna S.; Wolf, Alfred P.
CORPORATE SOURCE:        Dep. Chem., Brookhaven Natl. Lab., Upton, NY, 11973,
                         USA
SOURCE:                  Journal of Labelled Compounds and Radiopharmaceuticals
                         (1993), 33(7), 645-54
                         CODEN: JLCRD4; ISSN: 0362-4803
DOCUMENT TYPE:           Journal
LANGUAGE:                English
OTHER SOURCE(S):         CASREACT 120:76955
GI
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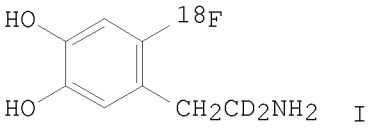
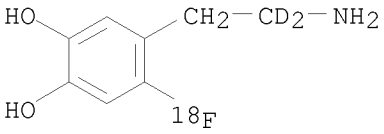


I

AB Doubly labeled (18F and D) 6-fluorodopamine (6-FDA) isotopomers were prepared to probe the contribution of metabolism by monoamine oxidase (MAO) and dopamine β-hydroxylase (DBH) on the kinetics of 6-[18F]FDA in baboon heart. Thus, 6-[18F]FDA-α,α-d2 (I) and 6-[18F]FDA-β,β-d2 were prepared in 6-steps starting with nucleophilic aromatic substitution by [18F]-fluoride on 6-nitropiperonal or 6-nitropiperonal-carbonyl-d in a decay corrected radiochem. yield of 3-10%. 6-[18F]FDA-α,α,β,β-D4 was prepared in 4 steps in a radiochem. yield of 16-20% and specific activity 2-5 Ci/μmol. The regiospecificity of D substitution in the preparation of 6-[18F]FDA-α,α,β,β-D4 was verified using piperonal as a substrate.

IT 152089-60-6P 152089-61-7P 152089-62-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for use in PET study of heart neuronal activity)

RN 152089-60-6 CAPLUS
CN 1,2-Benzenediol, 4-(2-aminoethyl-1,1-d2)-5-(fluoro-18F)- (9CI) (CA INDEX NAME)



RN 152089-61-7 CAPLUS
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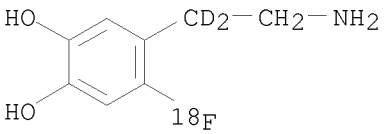
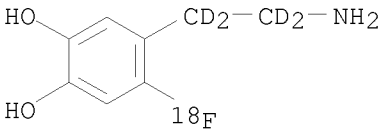


RN 152089-62-8 CAPLUS
CN 1,2-Benzenediol, 4-(2-aminoethyl-1,1,2,2-d4)-5-(fluoro-18F)- (9CI) (CA INDEX NAME)



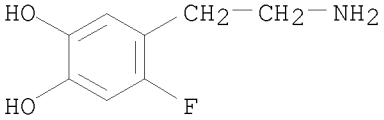
L10 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1980:461033 CAPLUS

DOCUMENT NUMBER: 93:61033
ORIGINAL REFERENCE NO.: 93:9783a,9786a
TITLE: Effects of ring fluorination on the cardiovascular actions of dopamine and norepinephrine in the dog
AUTHOR(S): Goldberg, Leon I.; Kohli, Jai D.; Cantacuzene, Daniele; Kirk, Kenneth L.; Creveling, Cyrus R.
CORPORATE SOURCE: Dep. Pharmacol. Physiol. Sci., Univ. Chicago, Chicago, IL, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1980), 213(3), 509-13
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 2-Fluorodopamine-HCl [59043-76-4], 5-fluorodopamine-HCl [59043-67-3], 6-fluorodopamine-HBr [59043-70-8], (±)-2-fluoronorepinephrine-HCl [70952-51-1], and (±)-5-fluoronorepinephrine-HCl [70952-52-2], and (±)-6-fluoronorepinephrine-HCl [70952-50-0] were compared with dopamine-HCl (DA-HCl) [62-31-7] and l-norepinephrine bitrartrate (NE bitartrate) [51-40-1] for α-, β-, and β2-adrenergic and vascular DA activities in pentobartial-anesthetized dogs. 2-Fluoro- and 5-fluoro-DA were equipotent whereas, 6-fluoro-DA was about 4-fold less active than DA in causing renal vasodilation in phenoxybenzamine pretreated dogs (vascular DA activity). The 3 analogs were indistinguishable from DA for vasoconstrictor activity in the femoral vascular beds (α-adrenergic activity). 2-Fluoro- and 6-fluoro-DA were equipotent to DA, whereas 5-fluoro-DA was about 2-fold more active than DA in inotropic activity (β1-adrenergic activity). In contrast, fluoro-NE analogs showed marked differential activities. 2-Fluoro-NE resembled isoproterenol in increasing cardiac contractility, lowering diastolic blood pressure, and causing vasodilation in the femoral vascular bed. The 5-fluoro-NE analog was the most potent for β1-adrenergic activity and produced biphasic effects on blood pressure and the femoral vascular bed. 6-Fluoro-NE exerted no inotropic activity in doses 50- to 80-fold higher than the threshold dose of NE and caused vasoconstriction. Thus, F substitution in either of the 3 positions in the benzene ring of DA induced only minor, if any, differences in receptor activation when compared with DA. On the other hand, F substitution in the benzene ring of NE yielded compds. with marked differential receptor activity. Thus the differences between the effects of F substitution on DA and NE analogs must be related to the only structural difference between the 2 catecholamines, the presence of a β-hydroxyl group in NE.

IT 59043-70-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of, structure in relation to)

RN 59043-70-8 CAPLUS
CN 1,2-Benzenediol, 4-(2-aminoethyl)-5-fluoro-, hydrobromide (1:1) (CA INDEX NAME)



● HBr